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L33: Entry 4 of 5

File: DWPI

Aug 12, 1987

DERWENT-ACC-NO: 1987-222841

DERWENT-WEEK: 198732

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TITLE: Theophylline sustained release tablet - contains water-insoluble polymer, water-soluble polymer or water swellable gel former, and carboxy-functional acid-insoluble polymer

INVENTOR: ORTEGA, A M A

PRIORITY-DATA: 1986US-0825909 (February 4, 1986)

## PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
EP 231826 A	August 12, 1987	E	012	
CA 1273876 A	September 11, 1990		000	
DE 3774889 G	January 16, 1992		000	
EP 231826 B	December 4, 1991		000	
ES 2055689 T3	September 1, 1994		000	A61K031/52
US 4837032 A	June 6, 1989		008	

INT-CL (IPC): A61K 9/22; A61K 31/52

ABSTRACTED-PUB-NO: EP 231826A

## BASIC-ABSTRACT:

A pharmaceutical tablet comprises 43-50 wt.% theophylline, 10-20 wt.% water-insoluble polymer (I), 10-15 wt.% of a water-soluble polymer (IIa) or water-swellable hydrophilic gel former (IIb), and 5-15 wt.% acid-insoluble polymer (III) having carboxylic gps.. (I) is pref. PVAc, PVA, copoly (vinyl chloride/vinyl acetate), (meth)acrylate (co)polymer or ethyl and methyl methacrylate copolymer; esp. PVAc. Pref. (IIa/b) is polyvinylpyrrolidone, hydroxypropylcellulose, methylcellulose or NaCMC, esp. polyvinylpyrrolidone. Pref. (III) is (meth)acrylic ester copolymers, hydroxypropyl methyl cellulose phthalate or esp. cellulose acetate phthalate.

USE/ADVANTAGE - Used for sustained release of theophylline giving minimal variation in blood plasma levels and permitting dosing at 12-hr. intervals.

## ABSTRACTED-PUB-NO:

## EP 231826B EQUIVALENT-ABSTRACTS:

A pharmaceutical tablet comprises 43-50 wt.% theophylline, 10-20 wt.% water-insoluble polymer (I), 10-15 wt.% of a water-soluble polymer (IIa) or water-swellable hydrophilic gel former (IIb), and 5-15 wt.% acid-insoluble polymer (III) having carboxylic gps.. (I) is pref. PVAc, PVA, copoly (vinyl chloride/vinyl acetate), (meth)acrylate (co)polymer or ethyl and methyl methacrylate copolymer; esp. PVAc. Pref. (IIa/b) is polyvinylpyrrolidone, hydroxypropylcellulose, methylcellulose or NaCMC, esp. polyvinylpyrrolidone. Pref. (III) is (meth)acrylic ester copolymers, hydroxypropyl methyl cellulose phthalate or esp. cellulose acetate phthalate.

USE/ADVANTAGE - Used for sustained release of theophylline giving minimal variation in blood plasma levels and permitting dosing at 12-hr. intervals.

US 4837032A

Pharamceutical tablet releases theophylline by diffusion in amt. effective for 12 hr. or more from a gel-forming granulate whch swells and erodes for an extended period of time. Granulate comprises (a) 43-50 wt. % theophylline; (b) 10-20 wt. % of water-insoluble polyvinyl acetate, PVA, vinyl chloride/vinyl acetate copolymer, acrylate or methacrylate (co)polymer, or ethyl or methyl methacrylate copolymer; (c) 10-15 wt. % polyvinyl pyrrolidone; and (d) 5-15 wt. % acid insoluble polymer contg.. carboxylic qps.

ADVANTAGE - Uniform blood levels are maintained during prolonged therapy upon oral administration. (8pp)v

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**Search Results - Record(s) 1 through 2 of 2 returned.**

1. Document ID: KR 156943 B1, EP 508653 A1, JP 04312524 A, CA 2064150 A, TW 223592 A, US 5389380 A, EP 508653 B1, DE 69207799 E, ES 2082364 T3, JP 2538134 B2, IE 71680 B

L40: Entry 1 of 2

File: DWPI

Nov 16, 1998

DERWENT-ACC-NO: 1992-341927

DERWENT-WEEK: 200030

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TITLE: Sustained release pharmaceutical prepn. formed without solvents - comprising carrier core, layer contg. drug and heat-meltable binder, and coating contg. non-heat-meltable dissolution controlling agent and heat-meltable binder

INVENTOR: KOBAYASHI, M; MAEJIMA, T ; NODA, K ; OSAWA, T

PRIORITY-DATA: 1991JP-0075335 (April 8, 1991)

## PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
KR 156943 B1	November 16, 1998		000	A61K009/16
EP 508653 A1	October 14, 1992	E	017	A61K009/50
JP 04312524 A	November 4, 1992		000	A61K009/52
CA 2064150 A	October 9, 1992		000	A61K009/42
TW 223592 A	May 11, 1994		000	A61K009/22
US 5389380 A	February 14, 1995		011	A61K009/16
EP 508653 B1	January 24, 1996	E	019	A61K009/50
DE 69207799 E	March 7, 1996		000	A61K009/50
ES 2082364 T3	March 16, 1996		000	A61K009/50
JP 2538134 B2	September 25, 1996		007	A61K009/52
IE 71680 B	February 26, 1997		000	A61K009/50

INT-CL (IPC): A61K 9/16; A61K 9/22; A61K 9/42; A61K 9/50; A61K 9/52; A61K 47/02; A61K 47/44

ABSTRACTED-PUB-NO: EP 508653A

## BASIC-ABSTRACT:

A sustained release pharmaceutical prepn. comprises: (a) a carrier; (b) an ingredient layer, contg. a medicinal cpd. and a heat-meltable material as a binder, formed around (a); (c) a coating layer, contg. a non-heat-meltable dissolution controlling agent and a heat-meltable material as a binder, formed around (b).

The heat meltable material is either a 10-32C fatty acid, a 12-30C aliphatic monohydric alcohol, a 14-24C fatty acid 12-24C monohydric aliphatic ester, a 12-18C fatty acid glyceryl ester, a 12-22C OH gp. contg. fatty acid 12-22C monohydric aliphatic ester, a 12-22C OH gp. contg. fatty acid glyceryl ester, a 12-22C unsatd. fatty acid glyceryl ester, or their hydrogenated cpds., or a polyethylene glycol. Most pref. are hydrogenated castor oil or rape seed oil, polyethylene glycol, stearyl alcohol or stearic acid. The non-heat meltable material is Mg or Ca stearate, talc, TiO<sub>2</sub>, colloidal silica, Mg silicate, dried Al(OH)<sub>3</sub> gel, pptd. CaCO<sub>3</sub>, and CaSO<sub>4</sub>, most pref. talc, Mg stearate, TiO<sub>2</sub>, or pptd. CaCO<sub>3</sub>.

USE/ADVANTAGE - The release rate can be controlled, and the prepn. is easily and efficiently prepd. It does not require use of solvents or drying core and the coating layer can be rapidly formed in the same apparatus, with practically no dusting or granule coagulation; the prod. has high sphericity, with consequent good fluidity. The prod. can be used in the form of granules, fine granules, tablets, or capsules. Drugs of both high and low solubility can be used; for the latter, a water soluble material is opt. added to the coating layer to increase the dissolution rate. Drugs are e.g. calcium channel antagonists, e.g. diltiazem HCl, verapamil HCl, nicardipine, nitrendipine, or nimodipine; antiasthmatics, e.g. theophylline or trimetoguinol; or water soluble vitamins, antibiotics, antitumour agents, antipyretics, analgesics, or antihyperglycaemic agent

ABSTRACTED-PUB-NO:

EP 508653B EQUIVALENT-ABSTRACTS:

A sustained-release pharmaceutical preparation comprising: (1) a carrier, (2) an effective ingredient layer which is formed around the carrier, said effective ingredient layer containing a medical compound and a heat-melted material as a binder, and (3) a coating layer which is formed around the effective ingredient layer, said coating layer containing a non-heat-meltable dissolution-controlling agent and a heat-melted material as a binder, wherein the heat-melted material is selected from the group consisting of a saturated or unsaturated fatty acid having 10-32 carbon atoms, an aliphatic monohydric alcohol having 12-30 carbon atoms, an ester of a saturated or unsaturated fatty acid having 14-24 carbon atoms and an aliphatic monohydric alcohol having 12-24 carbon atoms, an ester of saturated or unsaturated fatty acid having 12-18 carbon atoms and glycerin, an ester of a hydroxyl group-containing fatty acid having 12-22 carbon atoms and an aliphatic monohydric alcohol having 12-22 carbon atoms, as ester of hydroxyl group-containing saturated fatty acid having 12-22 carbon atoms and glycerin, an ester of a hydroxyl group-containing unsaturated fatty acid having 12-22 carbon atoms and glycerin, a hydrogenated compound of any of said esters and a polyethyleneglycol; the non-heat-meltable dissolution-controlling agent is a water-insoluble material which does not melt at the temperature of at mos 100 deg. C.; the ratio of the heat-melted material to the other components is 5:95 to 50:50 by weight in the effective ingredient layer; the ratio of the heat-melted material to the non-heat-meltable dissolution-controlling agent is 5:95 to 50:50 by weight in the coating layer.

US 5389380A

Prepn. of sustained release pharmaceutical prepn. comprises: (1) adding a mixt. of a medicinal cpd. (MC) and heat-meltable material (HMM) to a particulate carrier (PC) while tumbling of a temp. at which HMM can melt,

forming a layer around the carrier, (b) adding a mixt. of HMM and non-treat meltable dissoln. controlling agent (CDA) as in (a) to form a coating layer n the core produced in (a). HMM is e.g. 10-32C opt. unsatd. fatty acid, 12-30 aliphatic monatomic alcohol, an ester of a hydroxy gp. contg. unsatd. 12-22C fatty acid and glycerine etc.; DCM is e.g. Mg stearate, Ca stearate, talc, TiO<sub>2</sub>, colloidal SiO<sub>2</sub>, m,g silicate dried aluminium hydroxide gel, pptd. CaCO<sub>3</sub> or CaSO<sub>4</sub>; provided that the ingredient layer and coating layer are formed without using solvents.

USE/ADVANTAGE - The sustained release prepn. can release MC at a suitable dissoln. rate according to the properties of MC. Release rate of MC is accurately controlled.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	NMC	Draw Desc	Image
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☐ 2. Document ID: CA 1339079 C, WO 9001925 A, GB 2222948 A, EP 365123 A, AU 8942184 A, US 5004614 A, GB 2222948 B, EP 365123 B1, DE 68917677 E, ES 2058543 T3, IE 63764 B

L40: Entry 2 of 2

File: DWPI

Jul 29, 1997

DERWENT-ACC-NO: 1990-099244  
DERWENT-WEEK: 199742  
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TITLE: Controlled release tablet comprises core contg. active agent - opt. adhesive sub-coat and gastric fluid-impermeable coating with penetrating orifice .

INVENTOR: STANIFORTH, J N

PRIORITY-DATA: 1988GB-0020353 (August 26, 1988), 1989US-0398632 (August 25, 1989)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
CA 1339079 C	July 29, 1997		000	A61K009/20
WO 9001925 A	March 8, 1990	E	066	
GB 2222948 A	March 28, 1990		000	
EP 365123 A	April 25, 1990		000	
AU 8942184 A	March 23, 1990		000	
US 5004614 A	April 2, 1991		000	
GB 2222948 B	February 3, 1993		000	A61K009/22
EP 365123 B1	August 24, 1994	E	027	A61K009/22
DE 68917677 E	September 29, 1994		000	A61K009/22
ES 2058543 T3	November 1, 1994		000	A61K009/22
IE 63764 B	June 14, 1995		000	A61K009/22

INT-CL (IPC): A61K 9/20; A61K 9/22; A61K 9/30; A61K 31/135; A61K 31/44; A61M 31/00

ABSTRACTED-PUB-NO: EP 365123B

BASIC-ABSTRACT:

Device for controlled release of an active agent comprises a core contg. the active agent and an outer coating covering the core. The thickness of the outer coating is such that it is impermeable to the entrance of an environmental fluid and to the exit of the active agent during a dispensing period. The coating has orifice communicating the environments to the core to allow the release of the active agent into the environment.

USE/ADVANTAGE - Used for controlled release of a drug (claimed). A freely soluble drug useful for this purpose is chlorpheniramine maleate, and a sparingly soluble drug is theophylline. The device may be used together with a wide range of drugs. Esp. used for drugs with a wide therapeutic window, where precise dosing is not critical, e.g. analgesics, antihistamines, decongestants, laxatives, antacids, vitamins, anti-infectives, antiinflammatories, antimicrobials, vasoconstrictors, mucolytics, sedatives, etc. The device can be adapted for delivering active agent in streams, aquariums, fields, factories, reservoirs, laboratories, hothouses, transportation or naval-means, for veterinary use, chemical reactions, and other uses. The device gives zero-order release of active agent, or it may be designed to give a rate of release with time which may be used to give a chronotherapeutic effect not normally possible with sustained release devices.

ABSTRACTED-PUB-NO:

GB 2222948B EQUIVALENT-ABSTRACTS:

A device for controlled release of an active agent, including a core comprising an active agent and a release modifying agent, and an outer coating covering said core, wherein the coating blocks exposure of the core to an environmental fluid and is not removed by dissolution, or otherwise disrupted, before a predetermined duration for controlled release of the active agent has passed, the release modifying agent is an osmagent, a surfactant, an effervescent base, a natural gum, a polyethylene glycol, a hydrophobic material or an ion exchange resin, the core is exposable to the environmental fluid through an orifice, formed through the coating but not penetrating through the core, for allowing the release of the active agent into the environmental

fluid, the orifice has a diameter of between 10 and 60% of the device, and the device is a biconvex tablet or, a hemispherical or near-hemispherical tablet with the orifice centrally located in its flat, shallow convex or concave side.

A device for controlled release of an active agent, including a core comprising an active agent and a release modifying agent, and an outer coating covering said core, wherein the coating is substantially impermeable to the entrance of an environmental fluid present in an environment of use and substantially impermeable to the exit of the active agent during a dispensing period, the release modifying agent is an osmagent, a surfactant, an effervescent base, a natural gum, a polyethylene glycol, a hydrophobic material or an ion exchange resin, and an orifice, for allowing the release of the active agent into the environment of use, is formed through the coating, communicating between the environment of use and the core but not penetrating through the core.

US 5004614A

Device for controlled release of an active agent comprises an outer coating covering a core contg. an active agent and a release modifying agent. The thickness of the coating is adjusted according to the environment that the device is used in, such that it is substantially impermeable to the entrance of environmental fluids and the exit of active agent. The coating has an orifice communicating with the core which is about 10-60% of face area of device to allow release of active agent. USE/ADVANTAGE - The device is used for pharmaceutical compsns. to release at a constant rate in definite concns. (22pp)

WO 9001925A

Full Title Citation Front Review Classification Date Reference Sequences Attachments Claims NMR Draw Desc Image

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Term	Documents
GLYCOL.DWPI.	82244
GLYCOLS.DWPI.	7153
(39 AND GLYCOL).DWPI.	2
(L39 AND GLYCOL).DWPI.	2

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L33: Entry 3 of 5

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Mar 28, 1995

DERWENT-ACC-NO: 1988-015845

DERWENT-WEEK: 199707

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TITLE: Controlled release oral antiparkinsonism formulation - comprises carbidopa and levodopa in water soluble polymer(s) for simultaneous release

INVENTOR: DEMPSKI, R E; NIBBELINK, D W ; REINES, S A ; SCHOLTZ, E C

PRIORITY-DATA: 1986US-0874988 (June 16, 1986)

## PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
KR 9502882 B1	March 28, 1995		000	A61K009/22
EP 253490 A	January 20, 1988	E	012	
AU 8774228 A	December 17, 1987		000	
DK 8703025 A	December 17, 1987		000	
ZA 8704233 A	December 14, 1987		000	
JP 63054319 A	March 8, 1988		000	
PT 85049 A	July 1, 1988		000	
EP 253490 B	November 22, 1990		000	
DE 3766308 G	January 3, 1991		000	
IL 82823 A	March 10, 1991		000	
ES 2018548 B	April 16, 1991		000	
JP 92000045 B	January 6, 1992		000	
DK 170514 B	October 9, 1995		000	A61K031/195

INT-CL (IPC): A61K 9/22; A61K 31/15; A61K 31/195; A61K 47/00; C07C 229/36; C07C 243/18

ABSTRACTED-PUB-NO: EP 253490A

## BASIC-ABSTRACT:

Controlled release oral dosage formulation comprises a uniform dispersion of 5-300 mg carbidopa (I), 2-1200 mg L-Dopa (II), 0-25 mg tablet lubricant and opt a dye, in a polymer vehicle comprising 0-120 mg water-soluble polymer (III) and 0-120 mg less water-soluble polymer (IV) (the amounts of (III) and (IV) are not both 0 mg). After admin of the formulations, (I) and (II) are released slowly and simultaneously from the formulation.

USE/ADVANTAGE - The formulation gives controlled and simultaneous release of (I) and (II) for the treatment of Parkinsonism. With the formulation the adverse reactions and inadequacies after experienced with the standard (I)/(II) combinations are minimised. With the standard (I)/(II) combinations "wearing-off" and "on-off" phenomena have been found to occur on long-term therapy, and esp after 2-3 years.

ABSTRACTED-PUB-NO:

## EP 253490B EQUIVALENT-ABSTRACTS:

A controlled release oral dosage formulation comprising a uniform dispersion of 5-300 mg of carbidopa, 20-1200 mg of levodopa, 0-25 mg of a tablet lubricant and optionally a pharmaceutically acceptable dye, in a polymer vehicle comprising 0-120 mg of a water

soluble polymer selected from hydroxypropyl cellulose, hydroxypropylmethyl cellulose, polyvinyl pyrrolidone, polyethylene glycol, starch and methyl cellulose; and 0-120 mg of a less water soluble polymer selected from polyvinyl acetate-crotonic acid copolymer, polyvinyl chloride, polyethylene, cellulose acetate, polyvinyl alcohol, ethylene vinyl acetate copolymer, polyvinyl acetate, polymethyl methacrylate, and ethyl cellulose; with the proviso that both polymers are not 0 mg, whereby following administration the carbidopa and levodopa are released slowly and simultaneously from the formulation.

(42pp)



# WEST Search History

DATE: Friday, June 14, 2002

## Set Name Query

side by side

## Hit Count Set Name

result set

*DB=DWPI; PLUR=YES; OP=ADJ*

L41	L40 and l24	0	L41
L40	L39 and glycol	2	L40
L39	l37 and l38	12	L39
L38	control\$4 release or sustain\$3 release	9262	L38
L37	l36 and l35 and l31	18	L37
L36	theophylline	1070	L36
L35	analgesic	18437	L35
L34	glycol	85424	L34
L33	L31 and l25	5	L33
L32	l31 and l30	2	L32
L31	oral or tablet or pill or capsule	77784	L31
L30	L29 not l20	21	L30
L29	L28 and l24	21	L29
L28	l23 near2 l22	513	L28
L27	l26 and l24	24	L27
L26	l23 near3 l22	585	L26
L25	l24 and l23 and l22	55	L25
L24	water-soluble polymer\$2	5301	L24
L23	\$4vinylpyrrolidone or \$4vinyl pyrrolidone	8898	L23
L22	\$4vinylacetate or \$4vinyl acetate	44007	L22

*DB=USPT,PGPB,JPAB,EPAB,DWPI; PLUR=YES; OP=ADJ*

L21	\$4vinylacetate or \$4vinyl acetate	148470	L21
L20	L19 and l17 and l9 and analgesic	9	L20
L19	(mixed or mixture) same polyvinyl acetate same polyvinylpyrrolidone	316	L19
L18	tablet or solid	1474134	L18
L17	sustained release	21015	L17
L16	l12 and l13	25	L16
L15	L14 and l12	0	L15
L14	((514/772.4)!.CCLS. )	201	L14
L13	((424/400  424/464  424/468  424/469  424/470  424/484  424/486  424/489)!.CCLS. )	6475	L13
L12	L11 and l10	80	L12
L11	heat\$3	3350933	L11
L10	L9 and l8	125	L10
L9	granu\$5	299156	L9

L8	L7 and l6	195	L8
L7	lactose or calcium phosphate or sorbitol or mannitol or microcrystalline cellulose or starch	237783	L7
L6	l1 and l2 and l5	209	L6
L5	L4 or l3	19305	L5
L4	hydroxypropylcellulose	8144	L4
L3	hydroxypropyl cellulose	12447	L3
L2	analgesic or vitamin	104153	L2
L1	polyvinyl acetate and polyvinylpyrrolidone	3205	L1

END OF SEARCH HISTORY